

PATENT COOPERATION TREATY

PCT

NOTIFICATION OF ELECTION

(PCT Rule 61.2)

From the INTERNATIONAL BUREAU

To:

Commissioner
 US Department of Commerce
 United States Patent and Trademark
 Office, PCT
 2011 South Clark Place Room
 CP2/5C24
 Arlington, VA 22202
 ETATS-UNIS D'AMERIQUE

in its capacity as elected Office

Date of mailing (day/month/year) 28 June 2001 (28.06.01)	
International application No. PCT/GB00/02817	Applicant's or agent's file reference P022294WO
International filing date (day/month/year) 21 July 2000 (21.07.00)	Priority date (day/month/year) 23 July 1999 (23.07.99)
Applicant SNAPE, Mike, Frederick	

1. The designated Office is hereby notified of its election made:

☒ in the demand filed with the International Preliminary Examining Authority on:

22 February 2001 (22.02.01)

☐ in a notice effecting later election filed with the International Bureau on:
2. The election ☒ was
☐ was not

made before the expiration of 19 months from the priority date or, where Rule 32 applies, within the time limit under Rule 32.2(b).

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No.: (41-22) 740.14.35	Authorized officer Pascal Piriou Telephone No.: (41-22) 338.83.38
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REC'D 15 OCT 2001

WIPO

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT



(PCT Article 36 and Rule 70)

Applicant's or agent's file reference P022294WO	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/GB00/02817	International filing date (day/month/year) 21/07/2000	Priority date (day/month/year) 23/07/1999
International Patent Classification (IPC) or national classification and IPC A61K31/00		
Applicant VERNALIS RESEARCH LIMITED et al.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 6 sheets, including this cover sheet.
- ☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).
- These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the report
- II ☐ Priority
- III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☐ Certain defects in the international application
- VIII ☒ Certain observations on the international application

Date of submission of the demand 22/02/2001	Date of completion of this report 11.10.2001
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized officer Brück, M Telephone No. +49 89 2399 8735 

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/GB00/02817

I. Basis of the report

1. With regard to the **Elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, pages:

1-38 as originally filed

Claims, No.:

1-26 as originally filed

Drawings, sheets:

1/1 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
- ☐ the claims, Nos.:

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EXAMINATION REPORT**

International application No. PCT/GB00/02817

☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)):

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application.

☒ claims Nos. 24-26.

because:

☒ the said international application, or the said claims Nos. 24-26 relate to the following subject matter which does not require an international preliminary examination (*specify*):
see separate sheet

☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):

☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.

☐ no international search report has been established for the said claims Nos. .

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

☐ the written form has not been furnished or does not comply with the standard.

☐ the computer readable form has not been furnished or does not comply with the standard.

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)

Yes: Claims 1-26

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International application No. PCT/GB00/02817

	No:	Claims	
Inventive step (IS)	Yes:	Claims	1-26
	No:	Claims	
Industrial applicability (IA)	Yes:	Claims	1-23
	No:	Claims	

2. Citations and explanations
see separate sheet

VIII. Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:
see separate sheet

Section III

1. Claims 24-26 relate to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of these claims (Article 34(4)(a)(i) PCT).

Section V

1. Novelty and Inventive step

Cf. Section VIII,1 for the conditions under which the following opinion is valid:

The claims are considered to be novel and inventive because the claimed compound I has not been disclosed or suggested for the claimed conditions.

The prior art only mentions the compound as sedative, hypnotic, and antispasmodic (GB 872 447).

2. Industrial applicability

For the assessment of the present claims 24-26 on the question whether they are industrially applicable, no unified criteria exist in the PCT. The patentability can also be dependent upon the formulation of the claims.

The EPO does not, for example, recognize as industrially applicable the subject-matter of claims to the use of a compound in medical treatment, but may allow claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment.

Section VIII

1. The above opinion has been established by interpreting the conditions claimed

such as "neuroprotection", "cerebral ischaemia", "central nervous system injury" and "eye disease" in the light of the specification on page 11-12.

However, to fulfill Article 6 PCT it is necessary to unambiguously define these general conditions--according to the specification-- **also in the claims.**

2. Claim 23 refers to drugs which are characterized only by results to be achieved, viz., "useful in neuroprotection, in the treatment of cerebral ischaemia, central nervous system injury or eye disease" which renders the claim unclear (cf. PCT Preliminary Examination Guidelines, C-III, 4.7).

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
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(21) International Application Number: **PCT/GB00/02817**

(22) International Filing Date: **21 July 2000 (21.07.2000)**

(25) Filing Language: **English**

(26) Publication Language: **English**

(30) Priority Data:
9917384.1 **23 July 1999 (23.07.1999)** **GB**

(71) Applicant (for all designated States except US): **VERNALIS RESEARCH LIMITED [GB/GB];** Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB).

(72) Inventor; and

(75) Inventor/Applicant (for US only): **SNAPE, Mike, Frederick [GB/GB];** Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB).

(74) Agents: **HOWARD, Paul, Nicholas et al.;** Carpmaels & Ransford, 43 Bloomsbury Square, London WC1A 2RA (GB).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

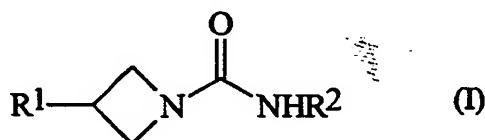
(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published:

— Without international search report and to be republished upon receipt of that report.

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: **CHEMICAL COMPOUNDS-III**



(57) Abstract: Use of a compound of formula (I) wherein R¹ is aryl; and R² is hydrogen or alkyl; or a pharmaceutically acceptable salt or prodrug thereof in the manufacture of a medicament for neuroprotection in a subject or for the treatment of cerebral ischaemia, central nervous system injury or eye diseases.

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(19) World Intellectual Property Organization
International Bureau



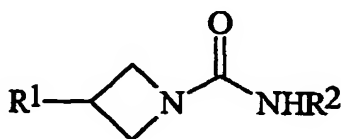
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- (51) International Patent Classification⁷: **A61K 31/397**,
A61P 39/00, 25/00, 25/28, 27/02
- (21) International Application Number: **PCT/GB00/02817**
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- (30) Priority Data:
9917384.1 23 July 1999 (23.07.1999) **GB**
- (71) Applicant (for all designated States except US): **VER-
NALIS RESEARCH LIMITED [GB/GB];** Oakdene
Court, 613 Reading Road, Winnersh, Wokingham RG41
5UA (GB).
- (72) Inventor; and
- (75) Inventor/Applicant (for US only): **SNAPE, Mike, Fred-
erick [GB/GB];** Oakdene Court, 613 Reading Road, Win-
nersh, Wokingham RG41 5UA (GB).
- (74) Agents: **HOWARD, Paul, Nicholas et al.;** Carpmaels &
Ransford, 43 Bloomsbury Square, London WC1A 2RA
(GB).
- (81) Designated States (national): **AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ,
DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ,
NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.**
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KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian
patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European
patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE,
IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG,
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14 June 2001
- For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: **AZETIDINE COMPOUNDS IN CNS AND EYE DISEASES**



(I)

(57) Abstract: Use of a compound of formula (I) wherein R¹ is aryl; and R² is hydrogen or alkyl; or a pharmaceutically acceptable salt or prodrug thereof in the manufacture of a medicament for neuroprotection in a subject or for the treatment of cerebral ischaemia, central nervous system injury or eye diseases.

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INTERNATIONAL SEARCH REPORT

Inte or Publication No
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A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 A61K31/397 A61P39/00 A61P25/00 A61P25/28 A61P27/02

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data, EMBASE, BIOSIS

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	GB 872 447 A (LEPETIT) 12 June 1961 (1961-06-12) page 1, left-hand column, line 8 - line 30	1, 2, 24, 25
A	CHEMICAL ABSTRACTS, vol. 55, no. 23, 1961 Columbus, Ohio, US; abstract no. 23481e, column 23481; XP002162437 abstract & TESTA E. ET AL.: "Substances acting on the central nervous system. XV-III. 3-Phenylazetidine" ANN., vol. 639, 1961, pages 157-165, abstract	1, 2, 24-26

-/--

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
- *Z* document member of the same patent family

Date of the actual completion of the international search

9 March 2001

Date of mailing of the international search report

21/03/2001

Name and mailing address of the ISA

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Authorized officer

Gac, G

INTERNATIONAL SEARCH REPORT

Inte c Application No
PCT/GB 00/02817

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P,A	<p>W0 99 37613 A (CEREBRUS LIMITED) 29 July 1999 (1999-07-29) cited in the application see the whole document, especially page 8 -----</p>	1-26

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/GB 00/02817

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
GB 872447 A		NONE	
WO 9937613 A	29-07-1999	AU 2178099 A EP 1049670 A	09-08-1999 08-11-2000